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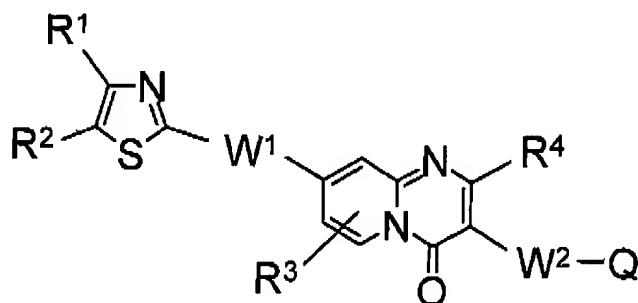
Application No. 09/842,234

# AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

## Listing of Claims:

Claim 1 (Previously Presented): A compound represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof:



(I)

wherein, R<sup>1</sup> and R<sup>2</sup> each independently represent hydrogen atom, a halogen atom, hydroxyl group, a group of OZ<sub>1-6</sub> (the group of OZ<sub>1-6</sub> represents an alkyl group having 1-6 carbon atoms or a fluoroalkyl group having 1-6 carbon atoms, which bonds via the oxygen atom), a group of S(O)<sub>n</sub>Z<sub>1-4</sub> (Z<sub>1-4</sub> represents an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms or an alkylene group derived therefrom), a group of N(R<sup>12</sup>)(R<sup>13</sup>) (R<sup>12</sup> and R<sup>13</sup> each independently represent hydrogen atom, an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms), a group of Z<sub>1-8</sub> which may be substituted (Z<sub>1-8</sub>

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represents an alkyl group having 1-8 carbon atoms or a fluoroalkyl group having 1-8 carbon atoms), a 5- to 7-membered cyclic alkyl group, an aryl group, a heteroaryl group, or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , a group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of  $CON(Z_{1-4})(Z_{1-4})$ );

$W^1$  represents a group selected from the group consisting of  $-CH=CH-$ ,  $-N(R^{12})CO-$ ,  $-CON(R^{12})-$ ,  $-CH_2O-$  and  $-CH_2CH_2-$  (each of the aforementioned groups binds to the thiazole ring at the left end);

$R^3$  represents hydrogen atom, a halogen atom, hydroxyl group or an amino group;

$R^4$  represents a group selected from the group consisting of hydrogen atom, a group of  $-OZ_{0-4}R^5$  ( $Z_{0-4}$  represents an alkylene group having 1-4 carbon atoms, a fluorine-substituted alkylene group having 1-4 carbon atoms or a single bond, and  $R^5$  represents a 5- to 7-membered cyclic alkyl group, an aryl group, a heteroaryl group or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , a group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of  $CON(Z_{1-4})(Z_{1-4})$ ), a group of  $-S(O)_nZ_{0-4}R^5$ , a group of  $-N(R^6)(R^7)$  ( $R^6$  and  $R^7$  each independently represent hydrogen atom or  $Z_{1-4}$ , or they may bind to each other to form a saturated or

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unsaturated 5- to 7-membered ring (the ring may contain one or two hetero atoms as ring constituting atoms), and  $R^6$  and  $R^7$  may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OCON(R^{12})(R^{13})$ , a group of  $CON(R^{12})(R^{13})$ , a group of  $N(R^{12})CON(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , group of  $CH_2OH$ , a group of  $(CH_2)_mN(R^{12})(R^{13})$ , carboxyl group, cyano group, a group of  $CO-Z_{1-4}(R^{10})-N(R^{12})(R^{13})$  ( $R^{10}$  is a substituent corresponding to a side chain on an amino acid carbon or a group of  $-Z_{1-4}-R^{11}$  ( $R^{11}$  represents a substituent which forms a quaternary salt) and a

group of  $\left[ \begin{array}{c} CO-Z_{1-4}-N(R^{12})(R^{13}) \\ | \\ (CH_2)_q \end{array} \right]$ , a 5- or 6-membered aryl group which may be substituted and a 5- or 6-membered unsaturated heterocyclic group which may be substituted;

$W^2$  represents a single bond or  $-C(R^8)=C(R^9)-$  ( $R^8$  and  $R^9$  each independently represent hydrogen atom, a halogen atom, a lower alkyl group, an alkoxy group, cyano group, carboxyl group, hydroxymethyl group, cyanomethyl group, vinyl group or a group of  $N(R^{12})(R^{13})$ ),  $Q$  represents an acidic group, and  $W^2$  and  $Q$  may bind together to form vinylidenethiazolidinedione in *E*- or *Z*-configuration or an equivalent heterocyclic ring;  $m$  and  $n$  each independently represent an integer of 0 to 2, and  $q$  represents an integer of 0 to 3.

Claim <sup>3</sup> (Previously Presented): A medicament composition for eliminating resistance of a microorganism with acquired drug resistance, which comprises a compound represented by formula (I) according to claim 1 or a physiologically acceptable salt thereof as an active ingredient.

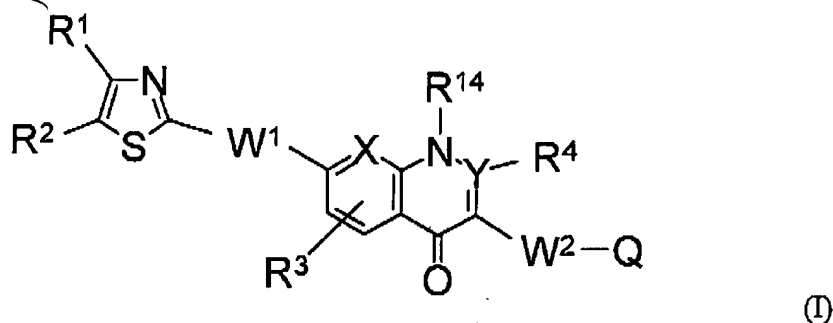
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Claim ~~3~~ <sup>4</sup> (Previously Presented): A medicament composition for enhancing effect of an antimicrobial agent, which comprises a compound represented by formula (I) according to claim 1 or a physiologically acceptable salt thereof as an active ingredient.

Claim ~~4~~ <sup>5</sup> (Previously Presented): A pharmaceutical composition for preventive and/or therapeutic treatment of a microbial infection, which comprises a compound represented by formula (I) according to claim 1 or a physiologically acceptable salt thereof together with an antimicrobial agent.

Claim ~~5~~ <sup>6</sup> (Previously Presented): A compound represented by the following formula (I) or a physiologically acceptable salt thereof, or hydrate thereof :



wherein,  $R^1$  and  $R^2$  each independently represent hydrogen atom, a halogen atom, hydroxyl group, a group of  $OZ_{1-6}$  (the group of  $OZ_{1-6}$  represents an alkyl group having 1-6 carbon atoms or a fluoroalkyl group having 1-6 carbon atoms, which bonds via the oxygen atom), a group of  $S(O)_nZ_{1-4}$  ( $Z_{1-4}$  represents an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms or an alkylene group derived therefrom), a group of  $N(R^{12})(R^{13})$  ( $R^{12}$  and  $R^{13}$  each independently represent hydrogen atom, an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms), a group of  $Z_{1-8}$  which may be substituted ( $Z_{1-8}$

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represents an alkyl group having 1-8 carbon atoms or a fluoroalkyl group having 1-8 carbon atoms), a 5- to 7-membered cyclic alkyl group, an aryl group, a heteroaryl group, or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , a group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of  $CON(Z_{1-4})(Z_{1-4})$ );

$W^1$  represents a group selected from the group consisting of  $-CH=CH-$ ,  $-N(R^{12})CO-$ ,  $-CON(R^{12})-$ ,  $-CH_2O-$  and  $-CH_2CH_2-$  (each of the aforementioned groups binds to the thiazole ring at the left end);

$R^3$  represents hydrogen atom, a halogen atom, hydroxyl group or an amino group;

$R^4$  represents a group selected from the group consisting of hydrogen atom, a group of  $-OZ_{0-4}R^5$  ( $Z_{0-4}$  represents an alkylene group having 1-4 carbon atoms, a fluorine-substituted alkylene group having 1-4 carbon atoms or a single bond, and  $R^5$  represents a 5- to 7-membered cyclic alkyl group, an aryl group, a heteroaryl group or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , a group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of  $CON(Z_{1-4})(Z_{1-4})$ ), a group of  $-S(O)_nZ_{0-4}R^5$ , a group of  $-N(R^6)(R^7)$  ( $R^6$  and  $R^7$  each independently represent hydrogen atom or  $Z_{1-4}$ , or they may bind to each other to form a saturated or

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unsaturated 5- to 7-membered ring (the ring may contain one or two hetero atoms as ring constituting atoms), and  $R^6$  and  $R^7$  may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OCON(R^{12})(R^{13})$ , a group of  $CON(R^{12})(R^{13})$ , a group of  $N(R^{12})CON(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , a group of  $OZ_{1-4}$ , a group  $S(O)_nZ_{1-4}$ , group of  $CH_2OH$ , a group of  $(CH_2)_mN(R^{12})(R^{13})$ , carboxyl group, cyano group, a group of  $CO-Z_{1-4}(R^{10})-N(R^{12})(R^{13})$  ( $R^{10}$  is a substituent corresponding to a side chain on an amino acid carbon or a group of  $-Z_{1-4}-R^{11}$  ( $R^{11}$  represents a substituent which forms a quaternary salt) and a

group of  $\left[ \begin{array}{c} CO-Z_{1-4}-N(R^{12})(R^{13}) \\ | \\ (CH_2)_q \end{array} \right]$ , a 5- or 6-membered aryl group which may be substituted and a 5- or 6-membered unsaturated heterocyclic group which may be substituted;

$W^2$  represents a single bond or  $-C(R^8)=C(R^9)-$  ( $R^8$  and  $R^9$  each independently represent hydrogen atom, a halogen atom, a lower alkyl group, an alkoxy group, cyano group, carboxyl group, hydroxymethyl group, cyanomethyl group, vinyl group or a group of  $N(R^{12})(R^{13})$ ),  $Q$  represents an acidic group, and  $W^2$  and  $Q$  may bind together to form vinylidenethiazolidinedione in *E*- or *Z*-configuration or an equivalent heterocyclic ring;  $m$  and  $n$  each independently represent an integer of 0 to 2, and  $q$  represents an integer of 0 to 3;  $R^{14}$  represents hydrogen atom,  $Z_{1-4}$ ,  $Z_{1-4}R^5$  or  $Z_{1-4}OR^5$ ; and  $X$  represents C-H and  $Y$  represents C-H or nitrogen atom.

Claim 7 (Previously Presented): A medicament composition for preventive and/or therapeutic treatment of a microbial infection which comprises a compound represented by the formula (I) according to claim 1 or a physiologically acceptable salt thereof as an active ingredient.

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Claim <sup>8</sup>~~7~~ (Previously Presented): A method for therapeutic treatment of a microbial infection comprising administering to a mammal in need thereof a therapeutically effective amount of the composition according to claim <sup>7</sup>~~6~~.

Claim <sup>9</sup>~~8~~ (Previously Presented): The method according to claim <sup>8</sup>~~7~~, further comprising administering at least one antimicrobial agent.

Claim <sup>10</sup>~~9~~ (Previously Presented) The method according to claim <sup>9</sup>~~8~~, wherein the at least one antimicrobial agent is simultaneously administered with the composition.

Claim <sup>11</sup>~~10~~ (Previously Presented): The method according to claim <sup>9</sup>~~8~~, wherein the at least one antimicrobial agent is separately administered from the composition.

Claim <sup>12</sup>~~11~~ (Previously Presented): The method according to claim <sup>9</sup>~~8~~, wherein the at least one antimicrobial agent is successively administered with the composition.

Claim <sup>13</sup>~~12~~ (Currently Amended): The method according to claim <sup>8</sup>~~7~~ wherein the mammal is a human.

Claim <sup>14</sup>~~13~~ (Previously Presented): A method for preventive treatment of a microbial infection comprising administering to a mammal a preventively effective amount of the composition according to claim <sup>7</sup>~~6~~.

Claim <sup>15</sup>~~14~~ (Previously Presented): The method according to claim <sup>14</sup>~~13~~, further comprising administering at least one antimicrobial agent.

Claim <sup>16</sup>~~15~~ (Previously Presented): The method according to claim <sup>15</sup>~~14~~, wherein the at least one antimicrobial agent is simultaneously administered with the composition.

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Claim ~~16~~<sup>17</sup> (Previously Presented): The method according to claim ~~14~~<sup>15</sup>, wherein the at least one antimicrobial agent is separately administered from the composition.

Claim ~~17~~<sup>18</sup> (Previously Presented): The method according to claim ~~14~~<sup>15</sup>, wherein the at least one antimicrobial agent is successively administered with the composition.

Claim ~~18~~<sup>19</sup> (Previously Presented): The method according to claim ~~13~~<sup>14</sup> wherein the mammal is a human.

[Claim 19 (Canceled)

Claim ~~20~~<sup>21</sup> (Previously Presented): A medicament composition for preventive and/or therapeutic treatment of a microbial infection which comprises a compound represented by the formula (I) according to claim ~~16~~<sup>17</sup> or a physiologically acceptable salt thereof as an active ingredient.

[Claim 21 (Canceled)

Claim ~~22~~<sup>22</sup> (Previously Presented): A method for therapeutic treatment of a microbial infection comprising administering to a mammal in need thereof a therapeutically effective amount of the composition according to claim ~~20~~<sup>21</sup>.

[Claim 23 (Canceled)

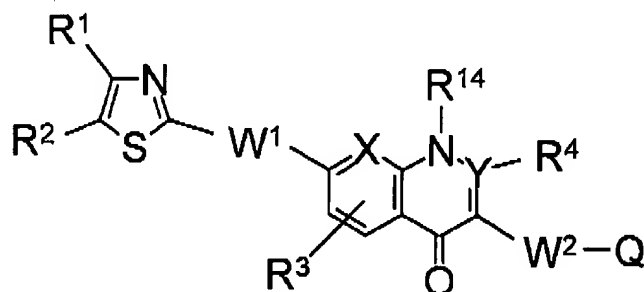
Claim ~~24~~<sup>23</sup> (Previously Presented): The method according to claim 22, further comprising administering at least one antimicrobial agent.



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Claim ~~25~~ <sup>27</sup>. (Previously Presented): A method for therapeutic treatment of a microbial infection comprising administering to a mammal in need thereof a therapeutically effective amount of a composition comprising a compound represented by formula (I) or a physiologically acceptable salt thereof as an active ingredient and at least one antimicrobial agent :



(I)

wherein, R<sup>1</sup> and R<sup>2</sup> each independently represent hydrogen atom, a halogen atom, hydroxyl group, a group of OZ<sub>1-6</sub> (the group of OZ<sub>1-6</sub> represents an alkyl group having 1-6 carbon atoms or a fluoroalkyl group having 1-6 carbon atoms, which bonds via the oxygen atom), a group of S(O)<sub>n</sub>Z<sub>1-4</sub> (Z<sub>1-4</sub> represents an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms or an alkylene group derived therefrom), a group of N(R<sup>12</sup>)(R<sup>13</sup>) (R<sup>12</sup> and R<sup>13</sup> each independently represent hydrogen atom, an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms), a group of Z<sub>1-8</sub> which may be substituted (Z<sub>1-8</sub> represents an alkyl group having 1-8 carbon atoms or a fluoroalkyl group having 1-8 carbon atoms), a 5- to 7-membered cyclic alkyl group, an aryl group, a heteroaryl group, or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of OZ<sub>1-4</sub>, a group of S(O)<sub>n</sub>Z<sub>1-4</sub>, a

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group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of  $CON(Z_{1-4})(Z_{1-4})$ ;

$W^1$  represents a group selected from the group consisting of  $-CH=CH-$ ,  $-N(R^{12})CO-$ ,  $-CON(R^{12})-$ ,  $-CH_2O-$  and  $-CH_2CH_2-$  (each of the aforementioned groups binds to the thiazole ring at the left end);

$R^3$  represents hydrogen atom, a halogen atom, hydroxyl group or an amino group;

$R^4$  represents a group selected from the group consisting of hydrogen atom, a group of  $-OZ_{0-4}R^5$

( $Z_{0-4}$  represents an alkylene group having 1-4 carbon atoms, a fluorine-substituted alkylene group having 1-4 carbon atoms or a single bond, and  $R^5$  represents a 5- to 7-membered cyclic alkyl

group, an aryl group, a heteroaryl group or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group

may have one to three substituents selected from the group consisting of a halogen atom,

hydroxyl group, a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , a group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ ,

carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of

$CON(Z_{1-4})(Z_{1-4})$ ), a group of  $-S(O)_nZ_{0-4}R^5$ , a group of  $-N(R^6)(R^7)$  ( $R^6$  and  $R^7$  each independently represent hydrogen atom or  $Z_{1-4}$ , or they may bind to each other to form a saturated or

unsaturated 5- to 7-membered ring (the ring may contain one or two hetero atoms as ring

constituting atoms), and  $R^6$  and  $R^7$  may have one to three substituents selected from the group

consisting of a halogen atom, hydroxyl group, a group of  $OCON(R^{12})(R^{13})$ , a group of

$CON(R^{12})(R^{13})$ , a group of  $N(R^{12})CON(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , a group of  $OZ_{1-4}$ , a group

$S(O)_nZ_{1-4}$ , group of  $CH_2OH$ , a group of  $(CH_2)_mN(R^{12})(R^{13})$ , carboxyl group, cyano group, a group

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of  $\text{CO-Z}_{1-4}(\text{R}^{10})\text{-N}(\text{R}^{12})(\text{R}^{13})$  ( $\text{R}^{10}$  is a substituent corresponding to a side chain on an amino acid carbon or a group of  $\text{-Z}_{1-4}\text{-R}^{11}$  ( $\text{R}^{11}$  represents a substituent which forms a quaternary salt) and a

group of  $\left[ \begin{array}{c} \text{CO-Z}_{1-4}\text{-N}(\text{R}^{12})(\text{R}^{13}) \\ | \\ (\text{CH}_2)_q \end{array} \right]$ , a 5- or 6-membered aryl group which may be substituted and a 5- or 6-membered unsaturated heterocyclic group which may be substituted;

$\text{W}^2$  represents a single bond or  $\text{-C}(\text{R}^8)=\text{C}(\text{R}^9)\text{-}$  ( $\text{R}^8$  and  $\text{R}^9$  each independently represent hydrogen atom, a halogen atom, a lower alkyl group, an alkoxy group, cyano group, carboxyl group, hydroxymethyl group, cyanomethyl group, vinyl group or a group of  $\text{N}(\text{R}^{12})(\text{R}^{13})$ ),  $\text{Q}$  represents an acidic group, and  $\text{W}^2$  and  $\text{Q}$  may bind together to form vinylidenethiazolidinedione in *E*- or *Z*- configuration or an equivalent heterocyclic ring;  $m$  and  $n$  each independently represent an integer of 0 to 2, and  $q$  represents an integer of 0 to 3;  $\text{R}^{14}$  represents hydrogen atom, an alkyl group having 1, 3 or 4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms,  $\text{Z}_{1-4}\text{R}^5$  or  $\text{Z}_{1-4}\text{OR}^5$ ; and  $\text{X}$  and  $\text{Y}$  each independently represent C-H or nitrogen atom.

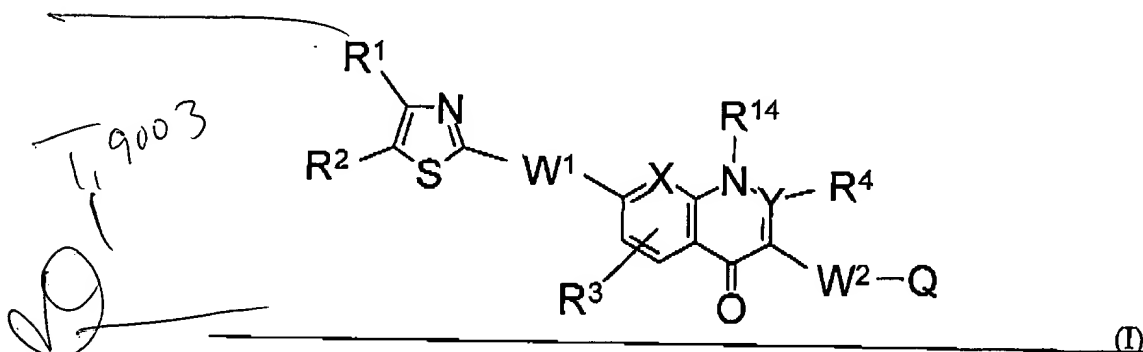
Claim ~~20~~ (Previously Presented): A method for preventive treatment of a microbial infection comprising administering to a mammal a preventively effective amount of the composition according to claim ~~20~~.

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Claim 27 (Currently Amended): A method for preventive treatment of a microbial infection comprising administering to a mammal a preventively effective amount of ~~the a~~ composition ~~according to claim 21~~ comprising a compound represented by the formula (I) or a physiologically acceptable salt thereof as an active ingredient and at least one antimicrobial

agent:



wherein, R<sup>1</sup> and R<sup>2</sup> each independently represent hydrogen atom, a halogen atom, hydroxyl group, a group of OZ<sub>1-6</sub> (the group of OZ<sub>1-6</sub> represents an alkyl group having 1-6 carbon atoms or a fluoroalkyl group having 1-6 carbon atoms, which bonds via the oxygen atom), a group of S(O)<sub>n</sub>Z<sub>1-4</sub> (Z<sub>1-4</sub> represents an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms or an alkylene group derived therefrom), a group of N(R<sup>12</sup>)(R<sup>13</sup>) (R<sup>12</sup> and R<sup>13</sup> each independently represent hydrogen atom, an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms), a group of Z<sub>1-8</sub> which may be substituted (Z<sub>1-8</sub> represents an alkyl group having 1-8 carbon atoms or a fluoroalkyl group having 1-8 carbon atoms), a 5- to 7-membered cyclic alkyl group, an aryl group, a heteroaryl group, or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group may have one to three substituents selected from the

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group consisting of a halogen atom, hydroxyl group, a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , a group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of  $CON(Z_{1-4})(Z_{1-4})$ ;

$W^1$  represents a group selected from the group consisting of  $-CH=CH-$ ,  $-N(R^{12})CO-$ ,  $-CON(R^{12})-$ ,  $-CH_2O-$  and  $-CH_2CH_2-$  (each of the aforementioned groups binds to the thiazole ring at the left end);

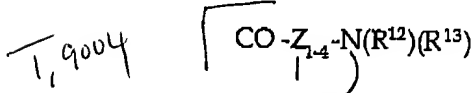
$R^3$  represents hydrogen atom, a halogen atom, hydroxyl group or an amino group;

$R^4$  represents a group selected from the group consisting of hydrogen atom, a group of  $-OZ_{0-4}R^5$  ( $Z_{0-4}$  represents an alkylene group having 1-4 carbon atoms, a fluorine-substituted alkylene group having 1-4 carbon atoms or a single bond, and  $R^5$  represents a 5- to 7-membered cyclic alkyl group, an aryl group, a heteroaryl group or a 4- to 7-membered saturated or partially saturated heterocyclic group (the cyclic alkyl group, aryl group, heteroaryl group and heterocyclic group may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OZ_{1-4}$ , a group of  $S(O)_nZ_{1-4}$ , a group of  $N(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , carboxyl group, a group of  $CO_2Z_{1-4}$ , group of  $CONH_2$ , a group of  $CONH(Z_{1-4})$  and a group of  $CON(Z_{1-4})(Z_{1-4})$ ), a group of  $-S(O)_nZ_{0-4}R^5$ , a group of  $-N(R^6)(R^7)$  ( $R^6$  and  $R^7$  each independently represent hydrogen atom or  $Z_{1-4}$ , or they may bind to each other to form a saturated or unsaturated 5- to 7-membered ring (the ring may contain one or two hetero atoms as ring constituting atoms), and  $R^6$  and  $R^7$  may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OCON(R^{12})(R^{13})$ , a group of  $CON(R^{12})(R^{13})$ , a group of  $N(R^{12})CON(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , a group of  $OZ_{1-4}$ , a group

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S(O)<sub>n</sub>Z<sub>1-4</sub>, group of CH<sub>2</sub>OH, a group of (CH<sub>2</sub>)<sub>m</sub>N(R<sup>12</sup>)(R<sup>13</sup>), carboxyl group, cyano group, a group of CO-Z<sub>1-4</sub>(R<sup>10</sup>)-N(R<sup>12</sup>)(R<sup>13</sup>) (R<sup>10</sup> is a substituent corresponding to a side chain on an amino acid carbon or a group of -Z<sub>1-4</sub>-R<sup>11</sup> (R<sup>11</sup> represents a substituent which forms a quaternary salt) and a



group of (CH<sub>2</sub>)<sub>q</sub> } a 5- or 6-membered aryl group which may be substituted and a 5- or 6-membered unsaturated heterocyclic group which may be substituted;

W<sup>2</sup> represents a single bond or -C(R<sup>8</sup>)=C(R<sup>9</sup>)- (R<sup>8</sup> and R<sup>9</sup> each independently represent hydrogen atom, a halogen atom, a lower alkyl group, an alkoxy group, cyano group, carboxyl group, hydroxymethyl group, cyanomethyl group, vinyl group or a group of N(R<sup>12</sup>)(R<sup>13</sup>)). Q represents an acidic group, and W<sup>2</sup> and Q may bind together to form vinylidenethiazolidinedione in E- or Z- configuration or an equivalent heterocyclic ring; m and n each independently represent an integer of 0 to 2, and q represents an integer of 0 to 3; R<sup>14</sup> represents hydrogen atom, an alkyl group having 1, 3 or 4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms, Z<sub>1-4</sub>R<sup>5</sup> or Z<sub>1-4</sub>OR<sup>5</sup>; and X and Y each independently represent C-H or nitrogen atom.

20  
Claim 20 (Previously Presented): A method for inhibiting drug resistance acquisition due to a drug efflux pump comprising administering to a mammal an effective amount to inhibit drug resistance acquisition due to a drug efflux pump of the composition according to claim 7.

21  
Claim 21 (Previously Presented): The method according to claim 20 wherein the mammal is a human.

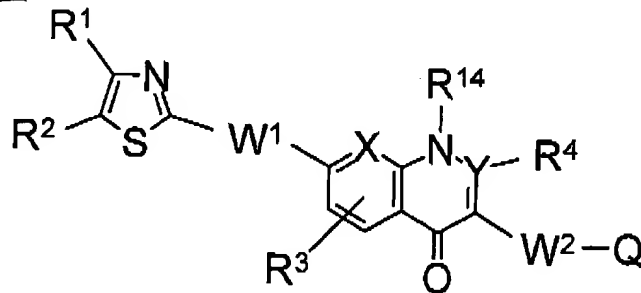
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Claim <sup>25</sup>~~30~~ (Previously Presented): A method for inhibiting drug resistance acquisition due to a drug efflux pump comprising administering to a mammal an effective amount to inhibit drug resistance acquisition due to a drug efflux pump of the composition according to claim <sup>6</sup>~~20~~.

Claim <sup>26</sup>~~31~~ (Previously Presented): The method according to claim <sup>25</sup>~~30~~ wherein the mammal is a human.

Claim <sup>29</sup>~~32~~ (Previously Presented): A method for inhibiting drug resistance acquisition due to a drug efflux pump comprising administering to a mammal an effective amount to inhibit drug resistance acquisition due to a drug efflux pump of a composition comprising a compound represented by formula (I) or a physiologically acceptable salt thereof as an active ingredient :



(I)

wherein, R<sup>1</sup> and R<sup>2</sup> each independently represent hydrogen atom, a halogen atom, hydroxyl group, a group of OZ<sub>1-6</sub> (the group of OZ<sub>1-6</sub> represents an alkyl group having 1-6 carbon atoms or a fluoroalkyl group having 1-6 carbon atoms, which bonds via the oxygen atom), a group of S(O)<sub>n</sub>Z<sub>1-4</sub> (Z<sub>1-4</sub> represents an alkyl group having 1-4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms or an alkylene group derived therefrom), a group of N(R<sup>12</sup>)(R<sup>13</sup>) (R<sup>12</sup> and R<sup>13</sup> each independently represent hydrogen atom, an alkyl group having 1-4 carbon atoms or a





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represent hydrogen atom or  $Z_{1-4}$ , or they may bind to each other to form a saturated or unsaturated 5- to 7-membered ring (the ring may contain one or two hetero atoms as ring constituting atoms), and  $R^6$  and  $R^7$  may have one to three substituents selected from the group consisting of a halogen atom, hydroxyl group, a group of  $OCON(R^{12})(R^{13})$ , a group of  $CON(R^{12})(R^{13})$ , a group of  $N(R^{12})CON(R^{12})(R^{13})$ , a group of  $Z_{1-4}$ , a group of  $OZ_{1-4}$ , a group  $S(O)_nZ_{1-4}$ , group of  $CH_2OH$ , a group of  $(CH_2)_mN(R^{12})(R^{13})$ , carboxyl group, cyano group, a group of  $CO-Z_{1-4}(R^{10})-N(R^{12})(R^{13})$  ( $R^{10}$  is a substituent corresponding to a side chain on an amino acid carbon or a group of  $-Z_{1-4}-R^{11}$  ( $R^{11}$  represents a substituent which forms a quaternary salt) and a

group of  $\left[ \begin{array}{c} CO-Z_{1-4}-N(R^{12})(R^{13}) \\ | \\ (CH_2)_q \end{array} \right]$ , a 5- or 6-membered aryl group which may be substituted and a 5- or 6-membered unsaturated heterocyclic group which may be substituted;

$W^2$  represents a single bond or  $-C(R^8)=C(R^9)-$  ( $R^8$  and  $R^9$  each independently represent hydrogen atom, a halogen atom, a lower alkyl group, an alkoxy group, cyano group, carboxyl group, hydroxymethyl group, cyanomethyl group, vinyl group or a group of  $N(R^{12})(R^{13})$ ),  $Q$  represents an acidic group, and  $W^2$  and  $Q$  may bind together to form vinylidenethiazolidinedione in *E*- or *Z*-configuration or an equivalent heterocyclic ring;  $m$  and  $n$  each independently represent an integer of 0 to 2, and  $q$  represents an integer of 0 to 3;  $R^{14}$  represents hydrogen atom, an alkyl group having 1, 3 or 4 carbon atoms or a fluoroalkyl group having 1-4 carbon atoms,  $Z_{1-4}R^5$  or  $Z_{1-4}OR^5$ ; and  $X$  and  $Y$  each independently represent C-H or nitrogen atom.

~~30~~ (Previously Presented): The method according to claim ~~32~~ wherein the mammal is a human.